Radiolabelling of PRRT Radiopharmaceuticals in Small-Scale Radiopharmacies and the Evolution of PRRT Radiopharmaceuticals

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The overexpression of specific peptide receptors on the tumours’ cell surface makes them ideal targets for both imaging and therapeutic agents. These peptides can be labelled with radionuclides which are used for either diagnostic imaging or therapy. The development and evolution of peptide receptor radionuclide therapy (PRRT) was a consequent step from the successful progress made in the past decades in the field of peptide receptors imaging, namely the ones associated with somatostatin.1, 2

PRRT holds great expectation for the treatment of late stage neuroendocrine tumours (NETs).1, 2 In the recent years, peptides labelled with 90Y and 177Lu have been proven to be an asset in the treatment of NETs, with promising results been reported in the literature.1, 2, 3

The evolution in the radiopharmacy field in terms of developing and utilizing new radionuclides for therapy and imaging has been followed by a development of the radiosynthesis methods and processes, enabling the widespread implementation of the radiolabelling of these radiopharmaceuticals across small-scale radiopharmacies. With this being, the radiopharmacists and the technologists working in the radiopharmacy now play a major role in today’s radionuclide therapy, since they are instrumental in the production of the 177Lu and 90Y radionuclide therapy agents.4, 5

In recent years, the shift from manual synthesis to utilisation of automatic radiolabelling synthesis modules using cassette systems has hugely contributed to the success of the robust implementation of the small-scale radiopharmacy labelling of radionuclide therapeutics. These automated systems ensure the GMP quality of the final product and significantly lower the radiation exposure of the personnel involved in the production, compared to the manual methods. The automation of the process also increase both the yield and reproducibility of the radiolabelling synthesis processes.4, 5

However, despite the automation of the labelling synthesis methods and in some centres even the automation of the dose dispensing procedures, the human oversight in the radiopharmacy is essential. The assurance of the quality control of the radiopharmacy instrumentation and productions is a top priority and is exclusively overseen by those working in the radiopharmacy.

The recent results of a randomised phase III study provided meaningful evidence of the efficacy of 177Lu-DOTATATE in the treatment of NETs.6 These encouraging results provide grounds for the continuous evolution of the radiopharmaceutical field. As further steps in development the currently used in clinic therapeutic radiopharmaceuticals can be further refined and optimized in terms of their in vivo stability, pharmacokinetics and potential combination with other PRRT agents or standard therapies. In addition, the potential use of alfa-emitting radionuclide in PRRT can also bring an important added value to PRRT.7

References

6 Strosberg JR et al. NETTER-1 phase III: Progression-free survival, radiographic response, and preliminary overall survival results in patients with midgut neuroendocrine tumors treated with 177-Lu-Dotatate. J Clin Oncol 34, 2016 (suppl 4S; abstr 194)